What is claimed is

1. A method for the preparation of a compound of the following formula VI or salt thereof:

5

$$R^{1}NH$$
 O $O-T$ $O-T$

where

 ${\tt R}^1$ is hydrogen, arylcarbonyl, alkoxycarbonyl or alkylcarbonyl;

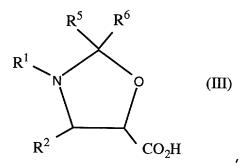
 ${\bf R}^2$ is aryl, heterocyclo or alkyl; and T is a taxane moiety directly bonded at C-13 of said moiety;

comprising the steps of:

15

10

(a) contacting a compound of the following formula III or salt thereof:



20 where

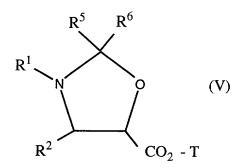
 ${\tt R}^1$ and ${\tt R}^2$ are as defined above; and

 ${\tt R}^5$ and ${\tt R}^6$ are (a) each independently alkyl; or (b) together with the carbon atom to which they are bonded, form a cycloalkyl, cycloalkenyl or heterocyclo group;

with a compound of the following formula IV or salt thereof:

$$HO - T$$
 (IV),

5 where T is as defined above, in the presence of a coupling agent, to form a compound of the following formula V or salt thereof:



10

15

20

where R¹, R², R⁵, R⁶ and T are as defined above; and

(b) contacting said compound of the formula V or salt
thereof with a ring-opening agent, and, optionally,
deprotecting one or more protected hydroxyl groups, to form
said compound of the formula VI or salt thereof.

2. The method of claim 1, wherein

 R^1 is arylcarbonyl or alkyloxycarbonyl;

R² is phenyl, thienyl or furyl;

 $\ensuremath{\text{R}}^5$ and $\ensuremath{\text{R}}^6$ are each independently unsubstituted lower alkyl; and

T is the moiety:

10

20

where

 ${\bf R}^9$ is hydrogen, alkylcarbonyl, or a hydroxyl protecting group; and

- 5 R¹⁰ is hydrogen or a hydroxyl protecting group.
 - 3. The method of claim 1, wherein said coupling agent comprises a carbodiimide, employed together with 1-hydroxybenzotriazole or N-hydroxysuccinimide; or a carbodiimide, bis(2-oxo-3-oxazolidinyl)phosphinic chloride, carbonyl diimidazole, pivaloyl chloride, or 2,4,6-trichlorobenzoyl chloride, wherein the aforementioned compounds are employed together with an amine.
- 15 4. The method of claim 1, wherein said ringopening agent is a Lewis acid.
 - 5. The method of claim 4, wherein said Lewis acid is Pd(CH3CN)2Cl2.
 - 6. The method of claim 1, wherein said compound of the formula VI is paclitaxel.
- 7. The method of claim 1, wherein R¹ is the group R^{1*} in said compound of the formula III or salt thereof, and wherein said compound of the formula III or salt thereof is prepared by a method comprising the step of contacting a compound of the following formula I or salt thereof:

$$R^{1*}$$
 R^{2}
 $CO_{2}R^{4}$
 R^{5}
 R^{6}
 $CO_{2}R^{4}$

5 R², R⁵ and R⁶ are as defined above;
R⁴ is alkyl, alkenyl, alkynyl, aryl, cycloalkyl,
cycloalkenyl, or heterocyclo; and
R^{1*} is hydrogen, arylcarbonyl, alkoxycarbonyl or
alkylcarbonyl, with the proviso that R^{1*} is not
tert-butoxycarbonyl when R² is aryl;
with a hydrolyzing agent.

8. The method of claim 7, wherein said compound of the formula I or salt thereof is prepared by a method comprising the step of contacting a compound of the following formula i or salt thereof:

$$R^{1*}NH$$
 O OR^4 OR^4

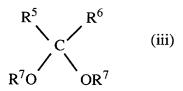
20 where

 ${\bf R}^{1}$ *, ${\bf R}^{2}$ and ${\bf R}^{4}$ are as defined above; and ${\bf R}^{3}$ is hydrogen or the group ${\bf R}^{3P}$, where ${\bf R}^{3P}$ is the group:

where ${\tt R}^5$ and ${\tt R}^6$ are as defined above, and ${\tt R}^7$ is alkyl or aryl;

 5 with an acid catalyst, and additionally, where R^{3} is hydrogen, with a compound of the formula ii or iii:

$$\begin{array}{c}
OR^{7} \\
I \\
R^{5a} - CH = C - R^{6}
\end{array}$$
 (ii)



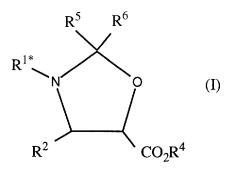
10

where R^5 , R^6 and R^7 are as defined above, and where R^{5a} (i) is a group such that R^{5a} - CH_2 - is R^5 or (ii) forms, together with R^6 and the atoms to which R^{5a} and R^6 are bonded, a cycloalkenyl or heterocyclo group containing at least one carbon to carbon double bond.

20

15

9. A compound of the following formula I or salt thereof:



5

25

 \mathbb{R}^{1*} is hydrogen, arylcarbonyl, alkoxycarbonyl or alkylcarbonyl, with the proviso that \mathbb{R}^{1*} is not tert-butoxycarbonyl when \mathbb{R}^2 is aryl;

 ${\tt R}^2$ is aryl, heterocyclo or alkyl;

 \mathbb{R}^4 is hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; and

10 R⁵ and R⁶ are (a) each independently alkyl; or (b) together with the carbon atom to which they are bonded, form a cycloalkyl, cycloalkenyl or heterocyclo group.

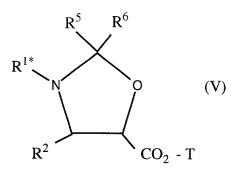
10. A compound of claim 9 which is selected from the group consisting of:

(4S-trans)-3-benzoyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxylic acid, ethyl ester;

20 (4S-trans)-3-benzoyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxylic acid, lithium salt; and

(4S-trans)-3-benzoyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxylic acid.

11. A compound of the following formula V or salt thereof:



 R^{1*} is hydrogen, arylcarbonyl, alkoxycarbonyl or alkylcarbonyl, with the proviso that R^{1*} is not tert-butoxycarbonyl when R^2 is aryl;

 R^2 is aryl, heterocyclo or alkyl;

R⁵ and R⁶ are (a) each independently alkyl; or (b) together with the carbon atom to which they are bonded, form a cycloalkyl, cycloalkenyl or heterocyclo group; and T is a taxane moiety directly bonded at C-13 of said moiety.

12. A compound of claim 11 which is

15

20

10

5

 $[2aR-(2a\alpha,4\beta,4a\beta,6\beta,9\alpha(4S^*,5R^*),-11\alpha,12\alpha,12a\alpha,12b\alpha]]-3-benzoyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxylic acid 6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-11-hydroxy-4a,8,13,13-tetramethyl-5-oxo-4-[(triethylsilyl)oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester.$

13. A compound of the following formula iv or salt thereof:

25

$$R^{1*}NH$$
 O OR^4 OR^4

5

 R^{1*} is hydrogen, arylcarbonyl, alkoxycarbonyl or alkylcarbonyl, with the proviso that R^{1*} is not tert-butoxycarbonyl when R^2 is aryl;

R² is aryl, heterocyclo or alkyl;

 R^4 is hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; and

10 R^{3P} is the group:

where

- 15 R^5 and R^6 are (a) each independently alkyl; or (b) together with the carbon atom to which they are bonded, form a cycloalkyl, cycloalkenyl or heterocyclo group; and R^7 is alkyl or aryl.
- 20 14. A method for the preparation of a compound of the following formula VI or a salt thereof:

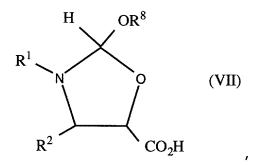
$$R^{1}NH$$
 O $O-T$ $O-T$

5

 ${\bf R}^2$ is aryl, heterocyclo or alkyl; and T is a taxane moiety directly bonded at C-13 of said moiety;

comprising the steps of:

10 (a) contacting a compound of the following formula VII or salt thereof:



15 where

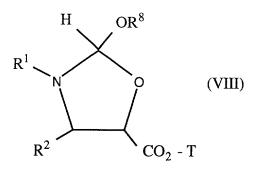
 ${\bf R}^1$ and ${\bf R}^2$ are as defined above; and ${\bf R}^8$ is alkyl or aryl; with a compound of the following formula IV or salt thereof:

20 HO - T (IV),

where T is as defined above, in the presence of a coupling agent, to form a compound of the following formula VIII or salt thereof:

5

15



where R^1 , R^2 , R^8 and T are as defined above; and

(b) contacting said compound of the formula VIII or salt thereof with a ring-opening agent, and, optionally, deprotecting one or more protected hydroxyl groups, to form said compound of the formula VI or salt thereof.

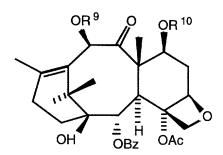
10 15. The method of claim 14, wherein

 ${\tt R}^{1}$ is arylcarbonyl or alkyloxycarbonyl;

 R^2 is phenyl, thienyl or furyl;

 \mathbb{R}^8 is alkyl or aryl; and

T is the moiety:



where

 \mathbb{R}^9 is hydrogen, alkylcarbonyl, or a hydroxyl protecting 20 group; and

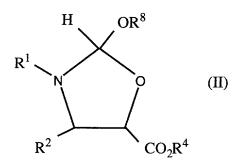
 ${\tt R}^{10}$ is hydrogen or a hydroxyl protecting group.

5

15

25

- 16. The method of claim 14, wherein said coupling agent comprises a carbodiimide, bis(2-oxo-3-oxazolidinyl)phosphinic chloride), carbonyl diimidazole, pivaloyl chloride, or 2,4,6-trichlorobenzoyl chloride; wherein the aforementioned compounds are employed together with 1-hydroxybenzotriazole, N-hydroxysuccinimide, or an amine.
- 17. The method of claim 14, wherein said 10 ring-opening agent is a protic acid.
 - 18. The method of claim 17, wherein said protic acid is an organic carboxylic acid and/or an aqueous mineral acid.
 - 19. The method of claim 14, wherein said compound of the formula VI is paclitaxel or taxotere.
- 20. The method of claim 14, wherein said compound 20 of the formula VII or salt thereof is prepared by a method comprising the step of contacting a compound of the following formula II or salt thereof:



where R^1 , R^2 and R^8 are as defined above; and R^4 is alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo;

with a hydrolyzing agent.

21. The method of claim 20, wherein said compound of the formula II or salt thereof is prepared by a method comprising the step of contacting a compound of the following formula i or salt thereof:

$$R^{1}NH$$
 O OR^{4} OR^{4}

10 where R^1 , R^2 and R^4 are as defined above; and R^3 is hydrogen; with an acid catalyst and a compound of the following formula vi:

15 $HC(OR^8)_3$ (vi)

where R^8 is as defined above.

22. A compound of the following formula II or salt 20 thereof:

where

 R^1 is hydrogen, arylcarbonyl, alkoxycarbonyl or alkylcarbonyl;

 R^2 is aryl, heterocyclo or alkyl;

R⁴ is hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, or heterocyclo; and R⁸ is alkyl or aryl.

23. A compound of claim 22 which is selected from the group consisting of:

10

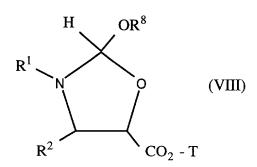
5

(4S,5R)-3-benzoyl-2-ethoxy-4-phenyl-5-oxazolidinecarboxylic acid, ethyl ester;

(4S,5R)-3-benzoyl-2-methoxy-4-phenyl-5-15 oxazolidinecarboxylic acid, ethyl ester; and

 $(4S, 5\pmb{\beta}) \text{--3-benzoyl-2-methoxy-4-phenyl-5-} \\ \text{oxazolidinecarboxylic acid.}$

20 24. A compound of the following formula VIII or salt thereof:



25 where

 R^1 is hydrogen, arylcarbonyl, alkoxycarbonyl or alkylcarbonyl;

 ${\tt R}^2$ is aryl, heterocyclo or alkyl;

 R^8 is alkyl or aryl; and

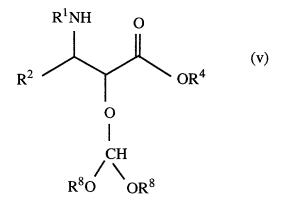
T is a taxane moiety directly bonded at C-13 of said moiety.

5

25. A compound of claim 24 which is

[2aR-($2a\alpha$, 4β , $4a\beta$, 6β , 9α ($4S^*$, $5R^*$), -11α , 12α , $12a\alpha$, $12b\alpha$]]-3-benzoyl-2-methoxy-4-phenyl-5-oxazolidinecarboxylic acid 6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-11-hydroxy-4a,8,13,13-tetramethyl-5-oxo-4-[(triethylsilyl)oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester.

15 26. A compound of the following formula v or salt thereof:



20 where

R² is aryl, heterocyclo or alkyl;

 ${\tt R}^4$ is hydrogen, alkyl, alkenyl, alkynyl, aryl,

25 cycloalkyl, cycloalkenyl, or heterocyclo; and R⁸ is alkyl or aryl.